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(54) Title: OXAZOLIDINONE DERIVATIVES AND THEIR USE AS ANTIBACTERIAL AGENTS

(57) Abstract: A compound of the formula (I), or a pharmaceutically-acceptable salt, or in-vivo hydrolysable ester thereof: (I) wherein in (I) C is for example wherein A and B are independently selected from i) ii) and m is 1 or 2;R2b and R6b, R2a and R6a, R3a and R5a, are for example selected from H, F, OMe and Me;R2b' and R6b', R2a' and R6a', R3a', R5a' are for example selected from H, OMe and Me; R1a is for example optionally substituted (1-10C)alkyl; R1b is for example selected from NR5C(=W)R4, a), or b) wherein HET-1 is for example isoxazolyl and HET-2 is for example triazolyl or tetrazolyl. Methods for making compounds of the formula (I), compositions containing them and their use as antibacterial agents are also described.

INTERNATIONAL SEARCH REPORT

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	on searched other than minimum documentation to the extent that su							
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C. DOCUME	ENTS CONSIDERED TO BE RELEVANT							
Category *	Citation of document, with indication, where appropriate, of the rele	want passages	Relevant to claim No.					
Υ	WO 01 94342 A (DONG A. PHARM.CO.) 13 December 2001 (2001-12-13) page 35, line 1 - line 5; claims; 143		1–15					
Α	US 5 801 246 A (BARBACHYN ET. AL. 1 September 1998 (1998-09-01) claims; examples)	1-15					
Α	US 5 698 574 A (RIEDL ET. AL.) 16 December 1997 (1997-12-16) claims; examples		1-15					
A	WO 99 64417 A (ZENECA) 16 December 1999 (1999-12-16) page 1, line 1 -page 2, line 10; examples	,	1-15					
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X Funt	her documents are listed in the confinuation of box C.	X Patent family members are listed	in annex.					
° Special ca	alegories of cited documents: ent defining the general state of the art which is not	"I" later document published after the inte or priority date and not in conflict with	the application but					
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filing date "L" document which may throw doubte on priority claim(s) or		cannot be considered novel or cannot involve an inventive step when the do	"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone					
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Internation No PCT/ub 03/05448

		PC1/GB 03/05448			
C.(Continu	Ition) DOCUMENTS CONSIDERED TO BE RELEVANT				
Category •	Citation of document, with indication, where appropriate, of the relevant passages		Relevant to claim No.		
P,Y	WO 03 022824 A (ASTRA ZENECA) 20 March 2003 (2003-03-20) page 1, line 1 -page 2, line 15; claims; examples		1-15		
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L	V210 (continuation of second sheet) (July 1992)				

INTERNATIONAL SEARCH REPORT

Internati Application No
PCT/up 03/05448

Patent document dted in search report	,	Publication date		Patent family member(s)	Publication date
WO 0194342	Α .	.13-12-2001	KR	2002071576 A	13-09-2002
MO 0124245	^	.TO IL LUUI	AU	5889701 A	17-12-2001
			BR	0111280 A	10-06-2003
				2411859 A1	
			CA		13-12-2001
			CN	1433413 T	30-07-2003
			EP	1289984 A1	12-03-2003
			HU	0301562 A2	29-12-2003
			JP	2003535860 T	02-12-2003
			MO	0194342 A1	13-12-2001
			NZ	522990 A	29-08-2003
			US	2003166620 A1	04-09-2003
US 5801246	Α	01-09-1998	US	5565571 A	15-10-1996
			US	5929248 A	27-07-1999
			US	5654428 A	05-08-1997
			US	5756732 A	26-05-1998
			US	5654435 A	05-08-1997
			ΑT	146783 T	15-01-1997
			AU	667198 B2	14-03-1996
			ΑU	2689892 A	07-06-1993
			CA	2119556 A1	13-05-1993
			DE	69216251 D1	06-02-1997
			DE	69216251 T2	15-05-1997
			DK	610265 T3	09-06-1997
			ΕP	0610265 A1	17-08-1994
			GR	3022340 T3	30-04-1997
			JР	7500603 T	19-01- 1 995
			JP	3176626 B2	18-06-2001
			KR	257418 B1	15-05-2000
			WO	9309103 A1	13-05-1993
US 5698574	Α	16-12-1997	DE	4425613 A1	25-01-1996
			AU	695661 B2	20-08-1998
			ΑU	2498895 A	01-02-1996
			BG	99791 A	30-04-1996
	,		CA	2154026 A1	21-01-1996
			CN	1121919 A	08-05-1996
			CZ	9501873 A3	14-02-1996
			EE	9500044 A	15-02-1996
			EP	0693491 A1	24-01-1996
			FI	953476 A	21-01-1996
			HR	950391 A1	30-06-1997
			HÜ	74003 A2	28-10-1996
			ΪĹ	114622 A	14-07-1999
			ĴP	8053443 A	27-02-1996
			NO	952866 A	22-01-1996
			NZ	272596 A	24-03-1997
			PL	309685 A1	22-01-1996
			RO	115161 B1	30-11-1999
			SG	33428 A1	18-10-1996
			SK	91695 A3	07-02-1996
			ZA	9506015 A	22-02-1996
W0 9964417		16-12-1999	AU	753988 B2	31-10-2002
550771/	•	· National and The	AU	4157199 A	30-12-1999
			BG	105001 A	28-09-2001
	ر در میجود منبود		BR	9910971 A	13-02-2001
		•	אוט	JJIUJ/I M	
			CA	2333332 A1	16-12-1999

INTERNATIONAL SEARCH REPORT

PCT/65 03/05448

Patent document cited in search report		Publication date		Patent family member(s)	Publication date
WO 9964417	A		CN	1311787 T	05-09-2001
			EE	200000707 A	15-04-2002
			EP	1082323 A2	14-03-2001
			WO	9964417 A2	16-12-1999
			HU	0103082 A2	28-10-2002
			ΙD	30299 A	22-11-2001
والمارين المارين	p		JP	2002517498 T	18-06-2002
			NO	20006152 A	02-02-2001
			NZ	508174 A	31-10-2003
			PL	345162 A1	03-12-2001
			SK	18362000 A3	11-06-2001
			TR	200003595 T2	23-07-2001
			US -	2003144263 A1	31-07-2003
		•	US	6617339 B1	09-09-2003
			ZA	200006694 A	18-02-2002
WO 03022824	A	20-03-2003	MO	03022824 A1	20-03-2003